GΙ

$$X \xrightarrow{N} DR^2$$

The title compose. (I; X, Y, Z = C, O, S, N; A = R1C(O)C(O), R1C(O)C(S), R1SO2, R1(E) $N\cancel{C}(0)$; R1, E = H, C1-9 alkyl, C2-9 alkenyl, aryl, heteroaryl, carbocyclyl/heterocyclyl; D = bond, (substituted) C1-10 alkylene, CH:CH; R2 = CO2H, /carboxylic acid isostere; n = 1-3) are prepared for treating vision disorders, improving vision, treating memory impairment, or enhancing memory performance in an animal. I bind to immunophilin FKBP12 and preferably do not have immunosuppressive activity. Affinity for FKBP12 is measured as inhibition of prolyl peptidyl cis-trans isomerase (rotamase). Thus, GPI 1046 (10 mg/kg s.c.) protected retinal ganglion cells and optic nerve axons and myelin against degeneration following pétinal ischemia in rats, and protected against retinal ganglion cell death after optic nerve transection. Me 1,3-oxazolidine-4-carboxylate was condensed with Me oxalyl chloride and the product reacted with 1,1-dimethylpropylmagnesium chloride and saponification to produce 3-(3,3-dimethyl-2-exepentanoyl)-1,3-exazolidine-4-carboxylic acid, I [X =Z = CH2, Y = 0, A = CH3CH2CMe3C(0)C(0), D = bend, R2 = CO2H, n = 1].

L4 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

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DOCUMENT NUMBER:

132 - 18814

TITLE:

Aza-heterocyclic compounds used to treat neurological

disorders and hair loss

INVENTOR (S):

Hamilton, Gregory S.; Norman, Mark H.; Wu, Yong-Qian;

Li, Jia-He; Steiner, Joseph P.

PATENT ASSIGNEE(S):

Guilford Pharmaceuticals Inc., USA; Amgen, Inc.

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PCT Int. Appl., 106 pp. CODEN: PIXXD2

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W: A	L. AM.	AT.	AU.	AZ.	BA.	BB.	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
n	K, EE,	ES.	FI.	GB.	GD.	GE.	GH.	GM,	HR,	HU,	ID,	IL,	IS,	JP,	KE,
. к	G, KP,	KR,	KZ,	LC	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,

10/408,276

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MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR,
              TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
              CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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              IE, SI, LT, LV, FI, RO
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                                                                      A3 19981203
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                                                                      W 19981203
                                                WO 1998-US25574
                           MARPAT 132:18814
OTHER SOURCE(S):
     251951-49-2 251954-85-5
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(heterocyclic compds. for treatment of neurol. disorder or hair loss)

251951-49-2 CAPLUS

1-Imidazolidinecarboxamide, 5-cyano-N-(1-phenylethyl)-, (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

251954-85-5 CAPLUS RN

CN 3-Thiazolidinecarboxamide, 4-cyano-N-(phenylmethyl)-, (4S)- (9CI) INDEX NAME)

Absolute stereochemistry.

GI